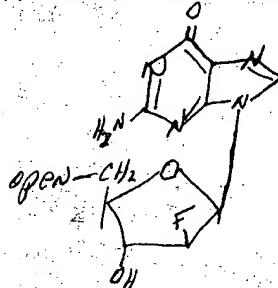


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USER Gary L. Kunz SERIAL NUMBER 07/652,978ART UNIT 1803 PHONE X. 4623 DATE 2-1-95

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You may include a copy of the broadest and or relevant claim(s).

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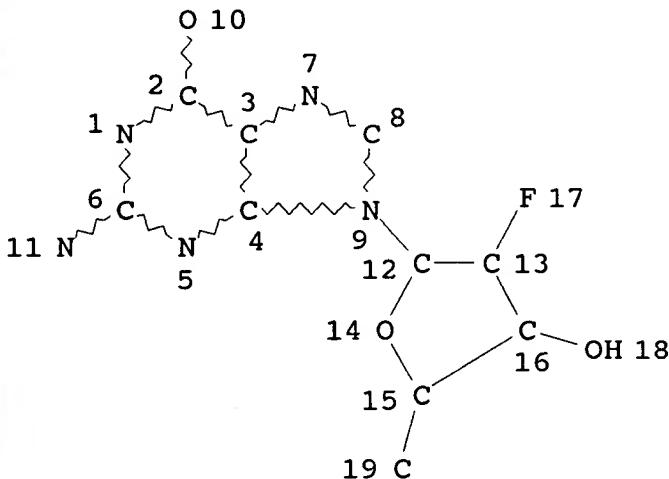
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L30 ANSWER 1 OF 8 REGISTRY COPYRIGHT 1995 ACS

RN 134444-64-7 REGISTRY

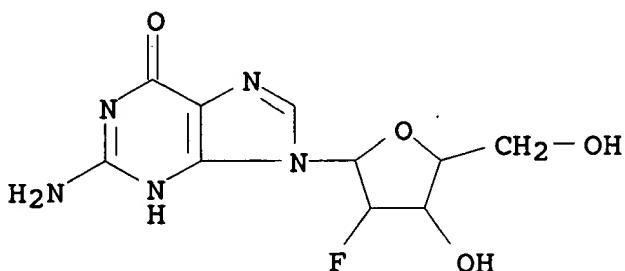
CN Guanosine, 2'-deoxy-2'-fluoro-, monosodium salt (9CI) (CA INDEX
 NAME)

MF C10 H12 F N5 O4 . Na

SR CA

LC STN Files: CA

DES 5:B-D-RIBO
CRN (78842-13-4)



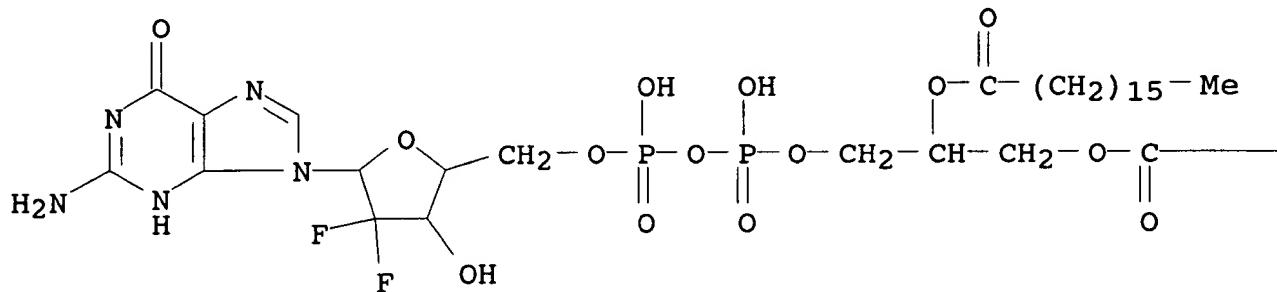
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1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 115:230514

L30 ANSWER 2 OF 8 REGISTRY COPYRIGHT 1995 ACS
 RN 131331-00-5 REGISTRY
 CN Guanosine 5'-(trihydrogen diphosphate), 2'-deoxy-2',2'-difluoro-,
 P'-(2,3-bis[(1-oxoheptadecyl)oxy]propyl) ester (9CI) (CA INDEX
 NAME)
 MF C47 H83 F2 N5 O14 P2
 SR CA
 LC STN Files: CA
 DES 5:B-D-ERYTHRO

PAGE 1-A



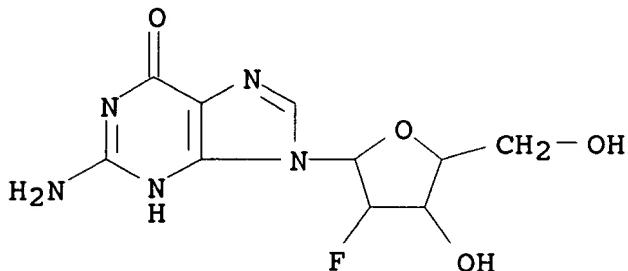
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—(CH₂)₁₅—Me

1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 114:43489

L30 ANSWER 3 OF 8 REGISTRY COPYRIGHT 1995 ACS
 RN 103884-98-6 REGISTRY
 CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)
 MF C10 H12 F N5 O4
 SR CA
 LC STN Files: BEILSTEIN*, CA, CANCERLIT, CASREACT, CJACS, MEDLINE, RTECS*, USPATFULL
 (*File contains numerically searchable property data)
 DES 5:B-D-ARABINO



8 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 118:7325

REFERENCE 2: 118:7311

REFERENCE 3: 114:122928

REFERENCE 4: 111:78536

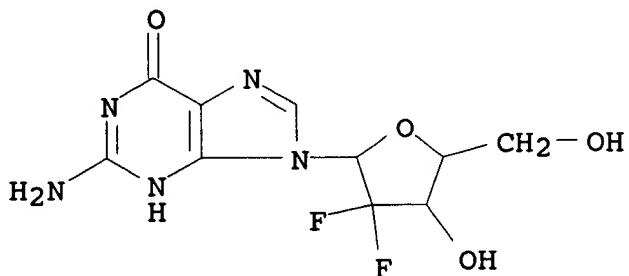
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REFERENCE 6: 110:57982

REFERENCE 7: P 107:59409

REFERENCE 8: 105:172962

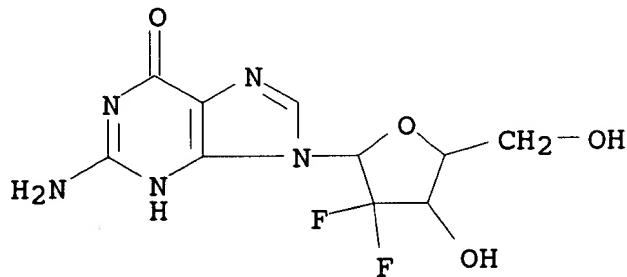
L30 ANSWER 4 OF 8 REGISTRY COPYRIGHT 1995 ACS
 RN 103882-88-8 REGISTRY
 CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-2,2-difluoro-.alpha.-D-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)
 MF C10 H11 F2 N5 O4
 SR CA
 LC STN Files: CA, TOXLIT, USPATFULL
 DES 5:A-D-ERYTHRO



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 105:91327

L30 ANSWER 5 OF 8 REGISTRY COPYRIGHT 1995 ACS
 RN 103882-87-7 REGISTRY
 CN Guanosine, 2'-deoxy-2',2'-difluoro- (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN 2'-Deoxy-2',2'-difluoroguanosine
 MF C10 H11 F2 N5 O4
 SR CA
 LC STN Files: CA, TOXLIT, USPATFULL
 DES 5:B-D-ERYTHRO



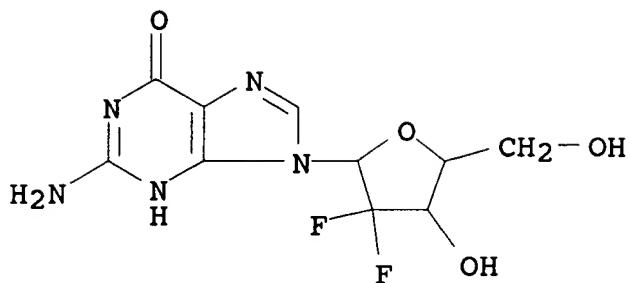
3 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 113:4620

REFERENCE 2: 112:99109

REFERENCE 3: P 105:91327

L30 ANSWER 6 OF 8 REGISTRY COPYRIGHT 1995 ACS
 RN 103828-82-6 REGISTRY
 CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-2,2-difluoro-D-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)
 MF C10 H11 F2 N5 O4
 SR CA
 LC STN Files: CA, TOXLIT, USPATFULL
 DES 5:D-ERYTHRO



1 REFERENCES IN FILE CA (1967 TO DATE)

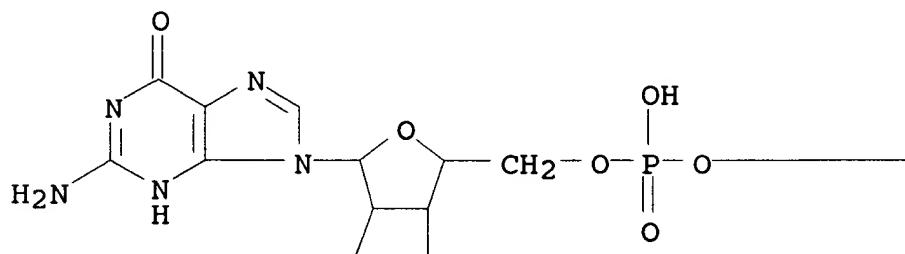
REFERENCE 1: P 105:91327

L30 ANSWER 7 OF 8 REGISTRY COPYRIGHT 1995 ACS
 RN 96475-41-1 REGISTRY
 CN Guanosine, 2'-deoxycytidylyl-(3'.fwdarw.5')-2'-deoxy-2'-fluoroguanosyl-(3'.fwdarw.5')-2'-deoxycytidylyl-(3'.fwdarw.5')-2'-deoxy-2'-fluoroguanosyl-(3'.fwdarw.5')-2'-deoxycytidylyl-(3'.fwdarw.5')-2'-deoxy-2'-fluoro- (9CI) (CA INDEX NAME)
 MF C57 H70 F3 N24 O34 P5
 LC STN Files: CA
 DES *

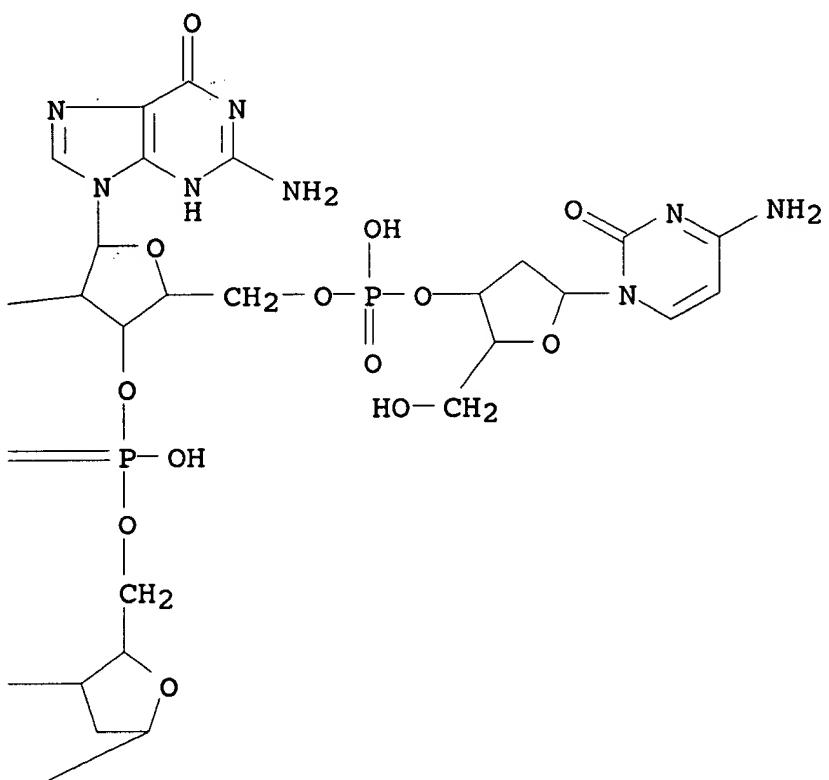
PAGE 1-A

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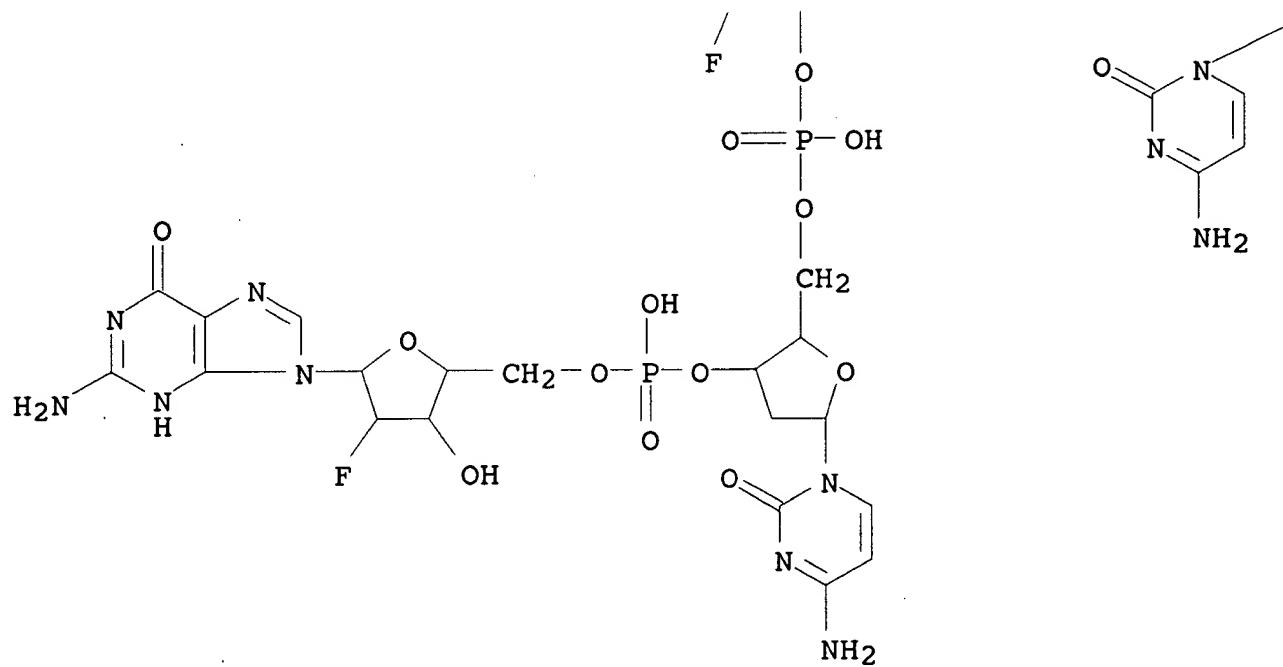
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PAGE 1-B



PAGE 2-A



PAGE 2-B

1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: 102:199767

L30 ANSWER 8 OF 8 REGISTRY COPYRIGHT 1995 ACS
 RN 78842-13-4 REGISTRY
 CN Guanosine, 2'-deoxy-2'-fluoro- (9CI) (CA INDEX NAME)

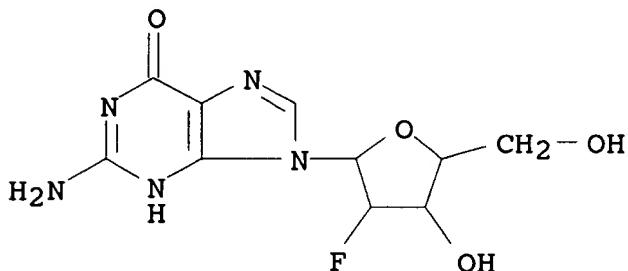
OTHER NAMES:

CN 2'-Deoxy-2'-fluoroguanosine
 MF C10 H12 F N5 O4

CI COM

LC STN Files: BEILSTEIN*, BIOBUSINESS, CA, MEDLINE, TOXLIT
 (*File contains numerically searchable property data)

DES 5:B-D-RIBO



10 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: 121:124668

REFERENCE 2: 120:153053

REFERENCE 3: 120:124224

REFERENCE 4: 119:117734

REFERENCE 5: 118:213400

REFERENCE 6: 117:192234

REFERENCE 7: P 115:230514

REFERENCE 8: 101:73046

REFERENCE 9: 96:104673

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L31 ANSWER 1 OF 24 HCA COPYRIGHT 1995 ACS

121:124668 Efficacy of 2'-deoxy-2'-fluororibosides against influenza A and B viruses in ferrets. Jakeman, Kenneth J.; Tisdale, Margaret; Russell, Stuart; Leone, Anna; Sweet, Clive (Sch. Biol. Sci., Univ. Birmingham, Birmingham, B15 2TT, UK). Antimicrob. Agents Chemother., 38(8), 1864-7 (English) 1994. CODEN: AMACQ. ISSN: 0066-4804.

AB Single-dose treatments (5 to 40 mg/kg of body wt. given i.p.) of ferrets with 2'-deoxy-2'-fluoroguanosine or its prodrug, 2,6-diaminopurine-2'-fluororiboside, 1 h after infection with influenza A virus significantly inhibited replication of virus in the upper respiratory tract, resulting in amelioration of fever and nasal inflammation. Replication of virus in the lower respiratory tract was also reduced >100-fold, but three doses were required to prevent replication in the lungs. In ferrets infected with influenza B virus, single-dose treatment (40 mg/kg given i.p.) produced a similar but reduced response in comparison with that in ferrets infected with influenza A virus, indicating that dosing was not optimal for this virus.

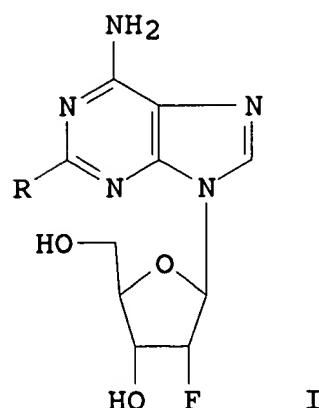
CC 1-5 (Pharmacology)

IT 78842-13-4, 2'-Deoxy-2'-fluoroguanosine 134444-47-6
(influenza virus A and B inhibition by, in respiratory tract)

L31 ANSWER 2 OF 24 HCA COPYRIGHT 1995 ACS

121:83851 Synthesis and biologic activity of purine 2'-deoxy-2'-fluoro-ribonucleosides. Thomas, H. Jeanette; Tiwari, Kamal N.; Clayton, Sarah Jo; Secrist, John A., III; Montgomery, John A. (South. Res. Inst., Birmingham, AL, 35255-5305, USA). Nucleosides Nucleotides, 13(1-3), 309-23 (English) 1994. CODEN: NNUUD5. ISSN: 0732-8311.

GI



AB The synthesis of 3,5-di-O-benzoyl-2-deoxy-2-fluoro-D-ribofuranosyl bromide and its reaction with 2,6-dichloropurine by fusion and with mercuric cyanide catalysis is described. The resulting 2,6-dichloro-9-(3,5-di-O-benzoyl-2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)purine was converted to 2'-deoxy-2'-fluoro-ribonucleosides, e.g. I (R = H, Cl, F). These nucleosides were cytotoxic to a no. of cell lines in culture. I (R = Cl, F) gave modest increases in lifespan when tested against the P388 leukemia in mice.

CC 33-9 (Carbohydrates)

Section cross-reference(s): 1

IT 78842-13-4P 147048-53-1P 156357-18-5P
(prepn. and antitumor activity of)

L31 ANSWER 3 OF 24 HCA COPYRIGHT 1995 ACS

120:153053 Comparative anti-influenza virus activity of 2'-deoxy-2'-fluororibosides in vitro. Rollins, Barbara S.; Hamid, Abdel; Elkhateeb, A.; Hayden, Frederick G. (Health Sci. Cen., Univ. Virginia, Charlottesville, VA, 22908, USA). Antiviral Res., 21(4), 357-68 (English) 1993. CODEN: ARSRDR. ISSN: 0166-3542.

AB The anti-influenza virus activity of 2'-deoxy-2'-fluoroguanosine was detd. in cell culture and in explants of human respiratory epithelium by yield redn. assay. The concn. causing at least 1.0 log₁₀ redn. in influenza A (H3N2) virus yield (EC₉₀) at 24 h was 2.5 .mu.g/mL in primary rhesus monkey kidney and 12 .mu.g/mL in Madin-Darby canine kidney (MDCK) cells, compared to 0.5 .mu.g/mL and 0.9 .mu.g/mL, resp., for ribavirin. The estd. therapeutic ratios for both compds. were low (<5 to 25) in these cell types. In contrast, the EC₉₀ values at 48 h for influenza A and influenza B virus were .1 to >0.1 .mu.g/mL in human respiratory epithelial explants, and concns. up to 100 .mu.g/mL did not inhibit explant outgrowth. Ribavirin was approx. 50-fold less active in this system and inhibited outgrowth at 10 .mu.g/mL. 2'-Deoxy-2'-fluoroguanosine was also approx. 45-fold more potent than the corresponding adenosine and inosine compds. in explant cultures. Partially resistant variants, with approx. 5-fold increases in EC₅₀ values, could be selected by serial influenza A virus passage in MDCK cells in the presence of 2'-deoxy-2'-fluoroguanosine, which indicated that its antiviral activity is at least partially virus specific. The exceptional activity of 2'-deoxy-2'-fluoroguanosine in human respiratory epithelial cells against both influenza A and B viruses makes this compd. an interesting candidate for further investigation.

CC 1-5 (Pharmacology)

Section cross-reference(s): 10

IT 64183-27-3, 2'-Deoxy-2'-fluoroadenosine 78842-13-4,
2'-Deoxy-2'-fluoroguanosine 80049-87-2, 2'-Deoxy-2'-fluoroinosine
(anti-influenza virus activity of)

L31 ANSWER 4 OF 24 HCA COPYRIGHT 1995 ACS

120:124224 Inhibition of influenza A and B viruses by 2'-deoxy-2'-fluororibosides. Tisdale, M.; Appleyard, G.; Tuttle, J. V.; Nelson, D. J.; Nusinoff-Lehrman, S.; Al Nakib, W.; Stables, J. N.; Purifoy, D. J. M.; Powell, K. L.; Darby, G. (Wellcome Res. Lab., Kent, UK). Antiviral Chem. Chemother., 4(5), 281-7 (English) 1993.

CODEN: ACCHEH. ISSN: 0956-3202.

AB A series of 2'-deoxy-2'-fluororibosides were evaluated for anti-influenza activity in cell culture and in the mouse pneumonia model. Many were found to be potent inhibitors of Influenza A, in chick embryo fibroblast cells (IC₅₀'s 0.1-2.9.*μ*.M), and in reducing mouse lung virus titers (1-3 log₁₀ units). Purine analogs proved the most effective, but their activity was an order of magnitude higher in MDCK cells. Anti-influenza activity correlated with intracellular triphosphate levels and with substrate specificity of 2'-deoxycytidine kinase. 2'-Deoxy-2'-fluoroguanosine selected for further study was active against all influenza A and B strains tested, including one clin. isolate which proved extremely sensitive when assayed in human tracheal cultures. *In vivo*, 2'-deoxy-2'-fluoroguanosine (2'-fluorodGuo) was significantly more effective than amantadine or ribavirin in reducing mouse lung virus titer when treatment commenced after infection.

CC 1-5 (Pharmacology)

IT 784-71-4, 2'-Deoxy-2'-fluorouridine 10212-20-1,
2'-Deoxy-2'-fluorocytosine 64183-27-3, 2'-Deoxy-2'-fluoroadenosine
78842-13-4, 2'-Deoxy-2'-fluoroguanosine 80049-87-2,
2'-Deoxy-2'-fluorohypoxanthosine 122799-38-6, 2'-Deoxy-2'-
fluorothymidine 134444-47-6 134444-48-7 134444-50-1
134444-51-2 134444-53-4 134444-54-5 134444-56-7 134444-58-9
(influenza A and B viruses inhibition by)

L31 ANSWER 5 OF 24 HCA COPYRIGHT 1995 ACS

119:117734 Uniformly modified 2'-deoxy-2'-fluoro-phosphorothioate oligonucleotides as nuclease-resistant antisense compounds with high affinity and specificity for RNA targets. Kawasaki, Andrew M.; Casper, Martin D.; Freier, Susan M.; Lesnik, Elena A.; Zounes, Maryann C.; Cummins, Lendell L.; Gonzalez, Carolyn; Cook, P. Dan (ISIS Pharm., Carlsbad, CA, 92008, USA). J. Med. Chem., 36(7), 831-41 (English) 1993. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CJACS-IMAGE; CJACS.

AB "Uniformly" modified phosphodiester or phosphorothioate oligonucleotides incorporating 2'- deoxy-2'-fluoroadenosine, -guanosine, -uridine, and -cytidine, reported herein for the first time, when hybridized with RNA afforded consistent additive enhancement of duplex stability without compromising base-pair specificity. CD spectra of the 2'-deoxy-2'-fluoro-modified oligonucleotides hybridized with RNA indicated that the duplex adopts a fully A-form conformation. The 2'-deoxy-2'-fluoro-modified oligonucleotides in phosphodiester form were not resistant to nucleases; however, the modified phosphorothioate oligonucleotides were highly nuclease resistant and retained exceptional binding affinity to the RNA targets. The stabilizing effects of the 2'-deoxy-2'-fluoro modifications on RNA-DNA duplexes were shown to be superior to those of the 2'-O-methylribo substitutions. "Uniformly" modified 2'-deoxy-2'-fluoro phosphorothioate oligonucleotides afforded antisense mols. with high binding affinity for the RNA target and stability toward nucleases.

CC 33-10 (Carbohydrates)

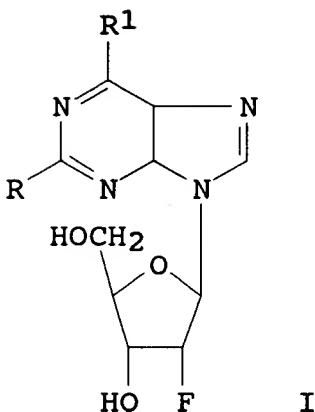
Section cross-reference(s): 6, 7, 9, 22

IT 64183-27-3P **78842-13-4P** 136834-22-5P 144089-96-3P
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146954-76-9P 146954-77-0P
(prepn. and reaction of, in synthesis of DNA)

L31 ANSWER 6 OF 24 HCA COPYRIGHT 1995 ACS

118:213400 Purine 2'-deoxy-2'-fluororibosides as antiinfluenza virus agents. Tuttle, Joel V.; Tisdale, Margaret; Krenitsky, Thomas A. (Wellcome Res. Lab., Research Triangle Park, NC, 27709, USA). J. Med. Chem., 36(1), 119-25 (English) 1993. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CJACS-IMAGE; CJACS.

GI



AB Twenty purine 2'-deoxy-2'-fluororibosides, e.g. I [R = H, NH₂, R₁ = OH, OMe, OEt, SMe, NH₂; R = F (II), Me, OMe, R₁ = NH₂], were synthesized by enzymic pentosyl transfer from 2'-deoxy-2'-fluorouridine. Each nucleoside analog was assayed for cytotoxicity in uninfected Madin-Darby canine kidney cells and for their ability to suppress influenza A virus infections in these cells. The most potent antiviral activity was obsd. with analogs having an amino group in the 2-position of the purine moiety. All 2-unsubstituted analogs were less potent than their 2-amino counterparts. The most cytotoxic member of the series was II (ED₅₀ = 120. μ M). 2'-Deoxy-2'-fluoroguanosine and those congeners readily converted to it by adenosine deaminase showed the most potent antiviral activity (ED₅₀ = 15-23 . μ M). Little cytotoxicity was obsd. with this subgroup of analogs which renders them worthy of further investigation as potential antiinfluenza agents.

CC 33-7 (Carbohydrates)

Section cross-reference(s): 1, 7, 9

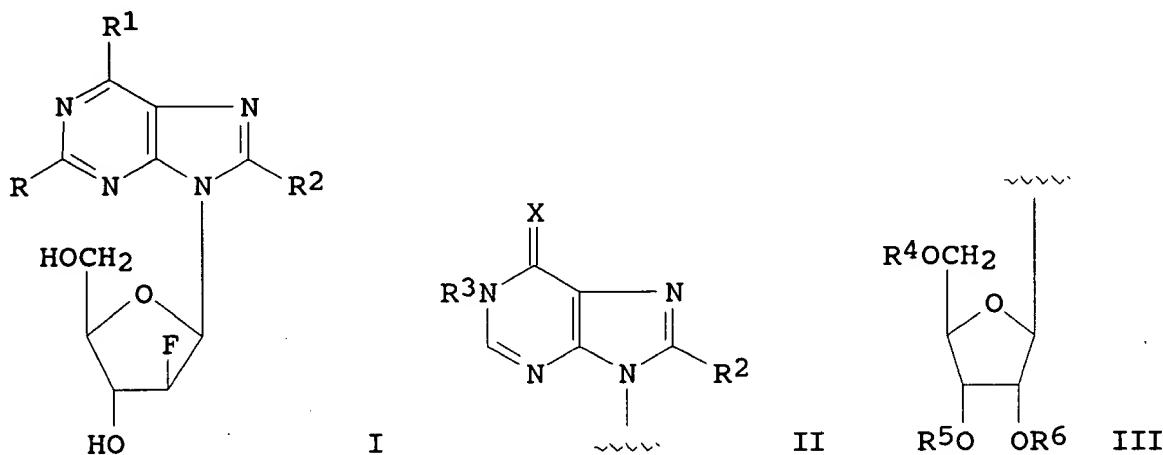
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 147048-55-3P 147048-56-4P
 (prep. and antiviral activity of)

L31 ANSWER 7 OF 24 HCA COPYRIGHT 1995 ACS

118:7325 Synthesis of 2'-'up" fluorinated 2''-deoxy-arabinofuranosylpurines. Watanabe, Kyoichi A.; Pankiewicz, Krzysztof W.; Krzeminski, Jacek; Nawrot, Barbara (Sloan-Kettering Institute for Cancer Research, USA). PCT Int. Appl. WO 9211276 A1 920709, 97 pp. DESIGNATED STATES: W: AU, CA, JP, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE. (English). CODEN:

PIXXD2. APPLICATION: WO 91-US9586 911218. PRIORITY: US 90-630275
901218.

GI



AB Fluoro nucleosides I and II [R = H, F, NH₂, substituted NH₂; R₁ = H, OMe, SMe, SCH₂Ph, CHMe₂, Cl, NH₂, substituted NH₂; R₂ = H, OH, OMe, halogen, NH₂, substituted NH₂; R₃ = H, (un)substituted CH₂Ph; X = O, S] were prep'd. from the nucleosides III (R₄-R₆ = H) via fluorination of the triflates III (R₄, R₅ = CPh₃, R₆ = O₂SCF₃). Thus, 1-benzylinosine was 3',5'-ditritylated and converted to the 2'-O-triflyl deriv. which was treated with (Me₂N)₃S(SiMe₃)F₂ and detritylated to give II (X = O, R₂ = H, R₃ = CH₂Ph).

IC ICM C07H019-19

ICS C07H019-173

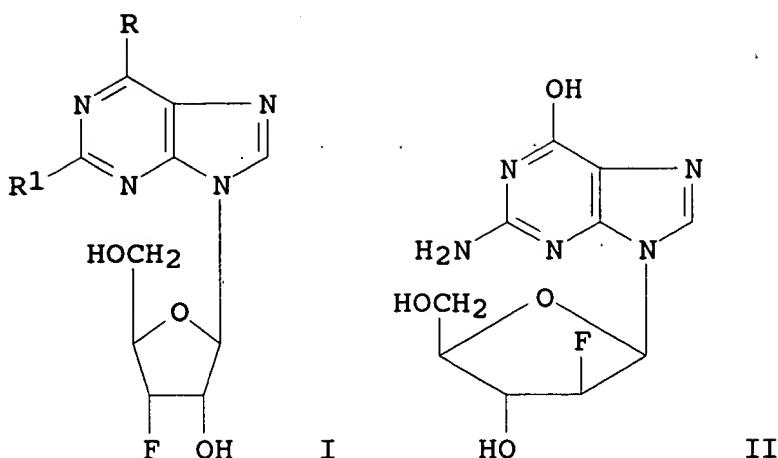
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	109304-05-4P	109304-11-2P	109304-12-3P	109304-16-7P	
	126502-12-3P	128612-08-8P	128636-80-6P	134217-15-5P	
	135473-21-1P	136852-30-7P	136852-31-8P	136852-34-1P	
	136852-41-0P	136852-42-1P	137964-98-8P	137965-01-6P	
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	144588-26-1P	144924-79-8P	144924-80-1P	144924-81-2P	
	144924-82-3P	144924-83-4P	144924-84-5P	144924-85-6P	
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144925-50-8P	144925-51-9P	144925-52-0P	144925-53-1P
144925-54-2P	144925-55-3P	144925-56-4P	144925-57-5P
144925-58-6P	144925-59-7P	144925-60-0P	144925-61-1P
144925-62-2P	144925-63-3P	144925-64-4P	144925-65-5P
144925-66-6P	144925-67-7P	144925-68-8P	144925-69-9P
144925-70-2P	144925-71-3P	144925-72-4P	144925-73-5P
144925-74-6P	144925-75-7P	144925-76-8P	144925-77-9P
144925-78-0P	144925-79-1P	144925-80-4P	144925-81-5P
144925-82-6P	144925-83-7P	144925-84-8P	144925-85-9P
144925-86-0P	144925-87-1P	144925-88-2P	144925-89-3P
144925-90-6P	144925-91-7P	144925-92-8P	144925-93-9P
144925-94-0P	144925-95-1P	144925-96-2P	144925-97-3P
144925-98-4P	144925-99-5P	144926-00-1P	144926-01-2P
144926-02-3P	144926-03-4P	144926-04-5P	144926-05-6P
144926-06-7P	144926-07-8P	144926-08-9P	144926-09-0P
144926-10-3P	144926-11-4P	144926-12-5P	144926-13-6P
144926-14-7P	144926-15-8P	144926-16-9P	144926-17-0P
144926-18-1P	144926-19-2P	144926-20-5P	144926-21-6P
144926-22-7P	144926-23-8P	144926-24-9P	144926-25-0P
144926-26-1P	144926-27-2P	144926-28-3P	144926-29-4P
144926-30-7P	144926-31-8P	144926-32-9P	144926-33-0P
144926-34-1P	144926-35-2P	144926-36-3P	144926-37-4P
144926-38-5P	144926-39-6P	144926-40-9P	144926-41-0P
144926-42-1P	144926-43-2P	144926-44-3P	144926-45-4P
144926-46-5P	144926-47-6P	144926-48-7P	144926-49-8P
144926-50-1P	144926-51-2P	144926-52-3P	144926-53-4P
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144926-58-9P	144926-59-0P	144926-60-3P	144926-61-4P
144926-62-5P	144926-63-6P	144926-64-7P	144926-65-8P
144926-66-9P	144926-67-0P	144926-68-1P	144926-69-2P
144926-70-5P	144926-71-6P	144926-72-7P	144926-73-8P
144926-74-9P	144926-75-0P	144926-76-1P	144926-77-2P
144926-78-3P	144926-79-4P	144926-80-7P	144926-81-8P
144926-82-9P	144926-83-0P	144926-84-1P	144926-85-2P
144926-86-3P	144926-87-4P	144926-88-5P	144926-89-6P
144926-90-9P	(prepns. of)	144926-91-0P	144926-92-1P

L31 ANSWER 8 OF 24 HCA COPYRIGHT 1995 ACS

118:7311 Nucleosides. 164. Studies directed toward the synthesis of 2'-deoxy-2'-substituted arabino nucleosides. 10. Synthesis of 2'-.beta.-fluoro- and 3'-.alpha.-fluoro-substituted guanine nucleosides. Effect of sugar conformational shifts on nucleophilic displacement of the 2'-hydroxy and 3'-hydroxy group with DAST. Pankiewicz, Krzysztof W.; Krzeminski, Jacek; Watanabe, Kyoichi A. (Lab. Org. Chem., Sloan-Kettering Inst. Cancer Res., New York, NY, 10021, USA). J. Org. Chem., 57(26), 7315-21 (English) 1992. CODEN: JOCEAH. ISSN: 0022-3263. OTHER SOURCES: CJACS-IMAGE; CJACS.



AB Fluoroguanine nucleosides I ($R = NH_2$, $R_1 = H$; $R = OH$, $R_1 = NH_2$) and II were prep'd. via fluorination of the guanine nucleosides with DAST. Effects of sugar conformational shifts on fluorination are described.

CC 33-9 (Carbohydrates)

Section cross-reference(s): 22

IT 75059-22-2P 103884-98-6P 123402-21-1P 144588-18-1P
144588-21-6P 144588-23-8P 144588-24-9P 144588-27-2P
(prepn. of)

L31 ANSWER 9 OF 24 HCA COPYRIGHT 1995 ACS

117:192234 Synthesis of suitably protected phosphoramidites of 2'-fluoro-2'-deoxyguanosine and 2'-amino-2'-deoxyguanosine for incorporation into oligoribonucleotides. Benseler, Fritz; Williams, David M.; Eckstein, Fritz (Abt. Chem., Max-Planck-Inst. Exp. Med., Goettingen, W-3400, Germany). Nucleosides Nucleotides, 11(7), 1333-51 (English) 1992. CODEN: NNUUD5. ISSN: 0732-8311.

AB A novel synthesis of 2'-fluoro-2'-deoxyguanosine (I) employing DAST as the fluorinating agent is presented. Both I and 2'-amino-2'-deoxyguanosine were converted to their phosphoramidites.

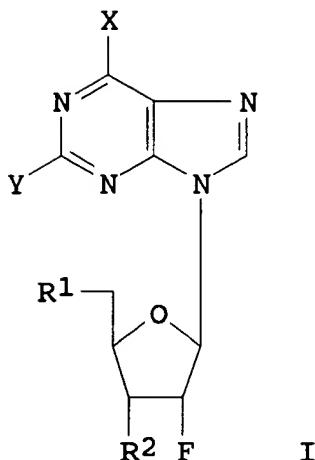
CC 33-9 (Carbohydrates)

IT 78842-13-4P
(prepn. and isobutyrylation of)

L31 ANSWER 10 OF 24 HCA COPYRIGHT 1995 ACS

115:230514 Preparation of 2'-deoxy-2'-fluororibonucleosides as medicinal virucides. Tisdale, Sylvia Margaret; Van Tuttle, Joel; Slater, Martin John; Daluge, Susan Mary; Miller, Wayne Howard; Krenitsky, Thomas Anthony; Koszalka, George Walter (Wellcome Foundation Ltd., UK). Eur. Pat. Appl. EP 417999 A1 910320, 44 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 90-309838 900907. PRIORITY: GB 89-20534 890911.

GI



AB 2'-Deoxy-2'-fluororibonucleosides I [Y = H, NH₂; X = (substituted) amino, ZR₃; Z = O, S; R₁, R₂ = OH, OCOR₄H, H, OC₂R₅H, etc.; R₃ = (substituted) C₁-6 alkenyl, or C₃-7 cycloalkyl; R₄ = (hydroxy) C₁-6 alkylene, C₂-6 alkenylene, or C₃-7 cycloalkylene; R₅ = bond, R₄] were prepd. For example, 2-amino-6-methoxypurine and 1-(2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)uracil were converted to title compd. I (R₁ = R₂ = OH, X = OMe, Y = NH₂) (II) by thymidine phosphorylase and purine nucleoside phosphorylase in potassium phosphate buffer contg. potassium azide. The IC₅₀ of II against respiratory syncytial virus was 6.3 .mu.M. Formulations of I were prepd.

IC ICM C07H019-173

ICS C07H019-20; A61K031-70

CC 16-2 (Fermentation and Bioindustrial Chemistry)

Section cross-reference(s): 1, 33, 63

IT 64183-27-3P 68245-91-0P 68777-94-6P **78842-13-4P**

80049-87-2P 134444-47-6P 134444-48-7P 134444-49-8P

134444-50-1P 134444-51-2P 134444-52-3P 134444-53-4P

134444-54-5P 134444-55-6P 134444-56-7P 134444-57-8P

134444-58-9P 134444-59-0P 134444-60-3P 134444-61-4P

134444-62-5P 134444-63-6P **134444-64-7P** 134444-65-8P

134444-66-9P 134444-67-0P 134444-68-1P 134444-69-2P

134444-70-5P 134444-71-6P 134444-72-7P 134444-73-8P

134444-74-9P 134444-75-0P 134444-76-1P 134444-77-2P

134444-78-3P 134444-79-4P 134444-80-7P 134444-81-8P

134444-82-9P 134444-83-0P 134444-84-1P 134444-86-3P

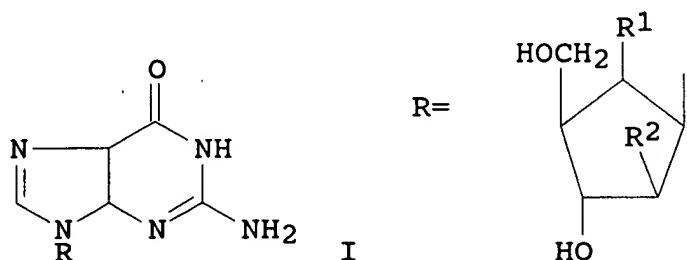
134444-87-4P 134444-88-5P 134444-89-6P

(prepn. of, as antiviral agent)

L31 ANSWER 11 OF 24 HCA COPYRIGHT 1995 ACS

114:122928 Fluorocarbocyclic nucleosides: synthesis and antiviral activity of 2'- and 6'-fluorocarbocyclic 2'-deoxyguanosines.

Borthwick, Alan D.; Kirk, Barrie E.; Biggadike, Keith; Exall, Anne M.; Butt, Suzanne; Roberts, Stanley M.; Knight, David J.; Coates, Jonathan A. V.; Ryan, D. Michael (Dep. Med. Chem. II, Glaxo Group Res., Greenford Middlesex, UB6 OHE, UK). J. Med. Chem., 34(3), 907-14 (English) 1991. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CASREACT 114:122928; CJACS.



AB A series of 4 isomeric 2'- and 6'-fluorocarbocyclic guanosine analogs, e.g. I [R1 = H, R2 = F (II); R1 = F, R2 = H (III)], have been prep'd. from their resp. fluoroaminodiol hydrochlorides RNH₂.HCl, and evaluated as potential anti-herpes agents. For comparison, 9-(2'-deoxy-2'-fluoro-.beta.-D-arabinofuranosyl)guanine was prep'd. by coupling 2-amino-6-chloropurine with 2-deoxy-2-fluoro-3,5-di-O-benzoyl-.alpha.-D-arabinofuranosyl bromide followed by base hydrolysis. III exhibited comparable activity to that of acyclovir (ACV) against herpes simplex virus type 1 (HSV-1) and type 2 (HSV-2) in vitro but was >30-fold more active than ACV against HSV-1 and HSV-2 in vivo in the mouse systemic model. II was extremely potent in vitro against HSV-1 and HSV-2 and in vivo it was greater than 2 orders of magnitude more potent than ACV against HSV-1 and 70-fold more potent against HSV-2. Other 2 isomers of I were much less active.

CC 33-9 (Carbohydrates)

Section cross-reference(s): 1

IT 103884-98-6P 110289-24-2P 131043-40-8P 131101-25-2P

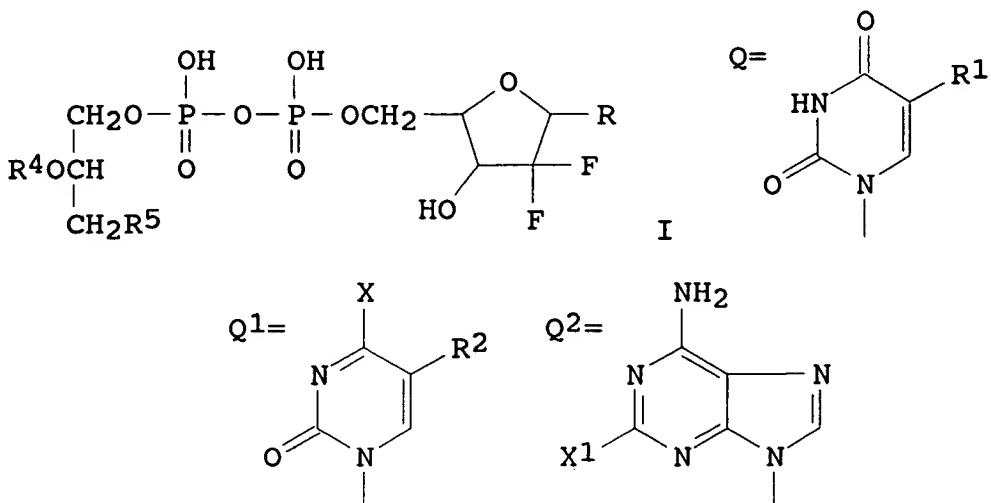
131101-26-3P

(prepn. and antiviral activity of)

L31 ANSWER 12 OF 24 HCA COPYRIGHT 1995 ACS

114:43489 Preparation of phospholipids of 2'-deoxy-2'2'-difluoronucleosides as antineoplastic agents. Bonjouklian, Rosanne; Grinley, Gerald Burr; Hertel, Larry Wayne (Lilly, Eli, and Co., USA). Eur. Pat. Appl. EP 376518 A1 900704, 19 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 89-312830 891208. PRIORITY: US 88-282766 881212.

GI



AB The title compds. [I; R = Q, Q1, Q2; R1 = H, alkyl, Br, F, Cl, iodo; X = NH₂ and R2 = any group of R1; or X = OH, NH₂ and R2 = CH:CHR₃, where R₃ = H, Br, Cl, iodo; X₁ = H, NH₂, OH, Br, F, Cl; R₄ = alkyl, COC(CH₂)_mMe, where m = 12-18; R₅ = (CH₂)_nMe, O₂C(CH₂)_mMe where n = 14-20] were prep'd. by condensation of R₅CH₂CH(OR₄)CH₂OPO₃H₂ (II) with a 2'-deoxy-2',2'-difluororibonucleoside 5'-monophosphate. Thus, phosphorylation of 2'-deoxy-2',2'-difluorocytidine.HCl with POCl₃ in P(O)(OMe)₃ and treatment of the resulting 2'-deoxy-2',2'-difluorocytidine 5'-dihydrogenphosphate with morpholine and DCC in tert-BuOH/H₂O gave an intermediate complex which was condensed with L-II (R₄ = palmitoyl, R₅ = palmitoyloxy) in pyridine gave I (R = Q₁; X = NH₂, R₂ = H; R₄, R₅ as above) (III). III at 5 mg/kg/day from day 5 to day 14 after inoculation inhibited by 100% the proliferation of M-5 ovarian carcinoma in female mice. III was also active against 6C3HED lymphosarcoma, colon carcinoma 26, X-5563 plasma cell myeloma, C3H mammary adenocarcinoma, Madison lung carcinoma, and Lewis lung carcinoma in mice.

IC ICM C07H019-10

ICS C07H019-20; A61K031-70

CC 33-9 (Carbohydrates)

Section cross-reference(s): 1, 63

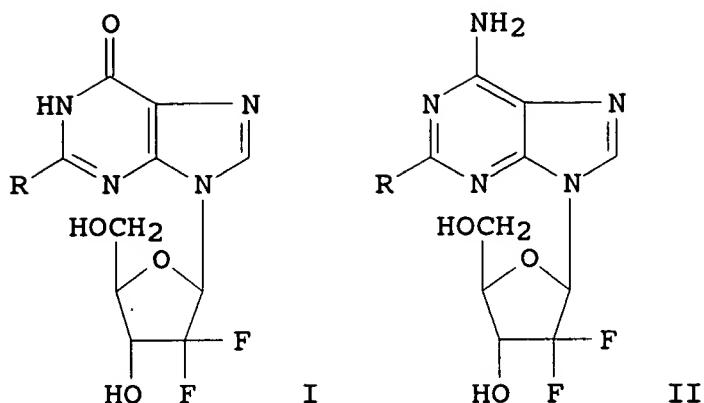
IT 131330-98-8P 131330-99-9P 131331-00-5P 131331-01-6P
131331-02-7P 131331-03-8P 131356-73-5P 131356-74-6P
(prepn. of, as antineoplastic agent)

L31 ANSWER 13 OF 24 HCA COPYRIGHT 1995 ACS

113:4620 .beta.-difluororibonucleosides and their enzymic manufacture.

Hertel, Larry Wayne; Grossman, Cora Sue; Kroin, Julian Stanley (Lilly, Eli, and Co., USA). Eur. Pat. Appl. EP 328345 A2 890816, 6 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 89-301163 890207. PRIORITY: US 88-159792 880210.

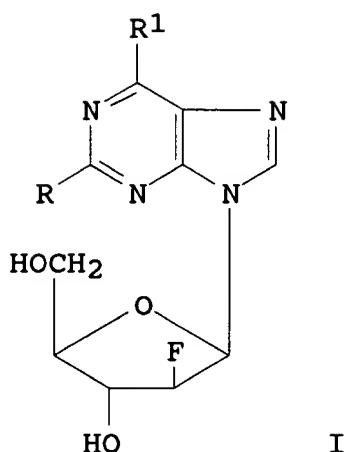
GI



- AB .beta.-2,2-Difluoronucleosides I (R = H, NH₃) are manuf. by incubating racemic II (R as in I) with adenosine deaminase, and optionally aminating the 6-keto group in the product. I (R = H) is also provided as an antiviral and antitumor agent.
 .beta.-1-(2-Amino-6-oxo-1H,purin-9-yl)-2-desoxy-2,2-difluororibose 0.26 g was obtained after incubating 1-(2,6-diamino-9H-purin-9-yl)-2-desoxy-2,2-difluororibose 0.75 g with adenosine deaminase 100 mg.
- IC ICM C12P019-40
 ICS A61K031-70
- CC 16-2 (Fermentation and Bioindustrial Chemistry)
- IT 103882-87-7P 127498-29-7P
 (prep. of, from racemate, adenosine deaminase in)
- L31 ANSWER 14 OF 24 HCA COPYRIGHT 1995 ACS
 112:99109 Synthesis, cytotoxicity and metabolism of the 2',2'-difluoro analogs of deoxyadenosine (dFdA) and deoxyguanosine (dFdG). Hertel, L. W.; Grossman, C. S.; Kroin, J. S.; Mineishib, S.; Chubb, S.; Nowak, B.; Plunkett, W. (Lilly Res. Lab., Indianapolis, IN, USA). Nucleosides Nucleotides, Volume Date 1988, 8(5-6), 951-5 (English) 1989. CODEN: NNUUD5. ISSN: 0732-8311.
- AB Proceedings of the 8th International Round Table. The in vitro toxicity and metab. of dFdA and dFdG was studied in human leukemia cell lines.
- CC 33-9 (Carbohydrates)
 Section cross-reference(s): 1
- IT 103828-77-9P, 2'-Deoxy-2',2'-difluoroadenosine 103882-87-7P
 , 2'-Deoxy-2',2'-difluoroguanosine
 (prep., cytotoxicity, and metab. of, in human leukemia cells)

- L31 ANSWER 15 OF 24 HCA COPYRIGHT 1995 ACS
 111:78536 Nucleosides. CXXXV. Synthesis of some 9-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-9H-purines and their biological activities. Chu, Chung K.; Matulic-Adamic, Jasenka; Huang, Jai Tung; Chou, Ting Chao; Burchenal, Joseph H.; Fox, Jack J.; Watanabe, Kyoichi A. (Dep. Med. Chem. Pharmacogn., Univ. Georgia, Athens, GA, 30602, USA). Chem. Pharm. Bull., 37(2), 336-9 (English) 1989. CODEN: CPBTAL. ISSN: 0009-2363. OTHER SOURCES: CASREACT 111:78536.

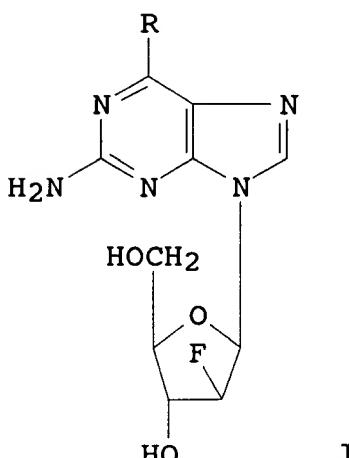
GI



- AB Seven title nucleosides I ($R = H$, $R1 = NH_2$, OH , SH , SMe , H ; $R = NH_2$, $R1 = OH$, SH) were prep'd. and tested for their antitumor activity. For example, direct condensation of 3-O-acetyl-5-O-benzoyl-2-deoxy-2-fluoro-D-arabinofuranosyl bromide with N6-benzoyladenine in CH_2Cl_2 followed by sapon. of the product gave I ($R = H$, $R1 = NH_2$). I ($R = NH_2$, $R1 = OH$) was found to be selectively toxic to human T-cell leukemia CCRF-CEM.
- CC 33-9 (Carbohydrates)
Section cross-reference(s): 1
- IT 20227-41-2P 98983-40-5P 103884-98-6P 109304-03-2P
109304-05-4P 109304-12-3P 109304-16-7P
(prep'n. and antitumor activity of)

L31 ANSWER 16 OF 24 HCA COPYRIGHT 1995 ACS
 111:55835 Antiviral nucleoside derivatives and pharmaceutical compositions containing them. Tuttle, Joel Van; Krenitsky, Thomas Anthony (Wellcome Foundation Ltd., UK). Eur. Pat. Appl. EP 285432 A2 881005, 16 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW.
 APPLICATION: EP 88-302922 880331. PRIORITY: GB 87-8050 870403.

GI



AB The title compds. [I; R = H, OH, alkyl, alkoxy, (substituted) amino] and their pharmaceutically acceptable derivs., useful as antivirals, are prepd. Incubation of 2,6-diaminopurine with 1-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)thymine in a pH 7.0 K3PO4 buffer contg. thymidine phosphorylase and purine nucleoside phosphorylase adsorbed onto DEAE-cellulose gave 2,6-diamino-9-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-9H-purine(II). A tablet formulation contg. I (unspecified), lactose, povidone, Na starch glycollate, and Mg stearate was described. I at 1 .mu.M showed antiviral activity against HIV in vitro.

IC ICM C07H019-167
ICS A61K031-70

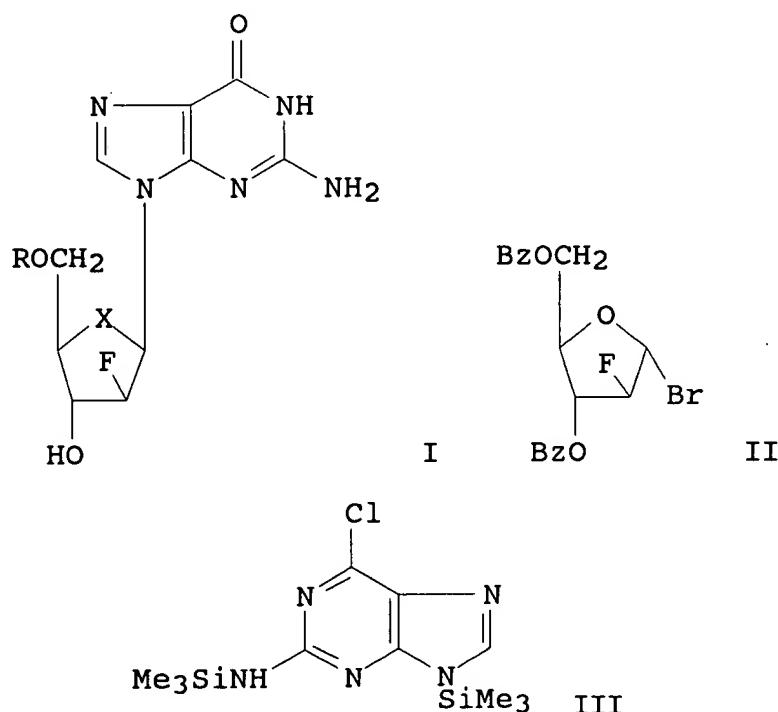
CC 16-2 (Fermentation and Bioindustrial Chemistry)
Section cross-reference(s): 1, 63

IT 103884-97-5P 103884-98-6P 109304-04-3P 121624-11-1P
121624-12-2P 121624-13-3P
(prepn. of, as antiviral agent)

L31 ANSWER 17 OF 24 HCA COPYRIGHT 1995 ACS

110:57982 Synthesis and enzymatic resolution of carbocyclic 2'-arafluoroguanosine: a potent new antiherpetic agent. Borthwick, Alan D.; Butt, Suzanne; Biggadike, Keith; Exall, Anne M.; Roberts, Stanley M.; Youds, Peter M.; Kirk, Barrie E.; Booth, Brian R.; Cameron, Janet M.; et al. (Dep. Microbiol. Chem., Glaxo Group Res., Greenford/Middlesex, UB6 OHE, UK). J. Chem. Soc., Chem. Commun. (10), 656-8 (English) 1988. CODEN: JCCCAT. ISSN: 0022-4936. OTHER SOURCES: CASREACT 110:57982; CJRSC.

GI



AB The prepn of the title compd. I ($R = H, X = CH_2$), its parent furanose I ($R = H, X = O$), and the enzymic resoln. of I ($R = H, X = CH_2$) are reported. Thus, bromodeoxydibenzoylfluorofuranose II was coupled with the silylated amino chloropurine III, followed by hydrolysis of the resulting product to give I ($R = H, X = O$). I ($R = H, X = CH_2$), previously reported as a potent inhibitor of herpes simplex virus (HSV) types 1 and 2, was 1000-fold more active than I ($X = O$) in vitro. However, (+)-I ($R = H, X = CH_2$), obtained by enzymic resoln. of I [$R = (HO)_2P(O)$, $X = CH_2$], was twice as active as racemic I ($R = H, X = CH_2$) against HSV-1 in vitro.

CC 33-9 (Carbohydrates)

Section cross-reference(s): 1

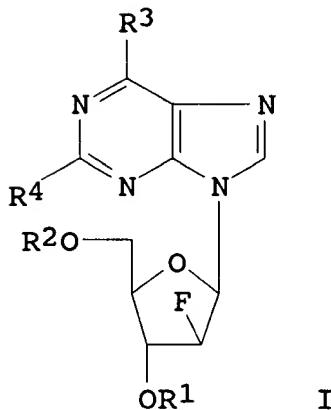
IT 103884-98-6P 110312-77-1P 110312-78-2P

(prepn. and virucidal activity of)

L31 ANSWER 18 OF 24 HCA COPYRIGHT 1995 ACS

107:59409 2-Fluoro-arabinofuranosyl purine nucleosides as neoplasm inhibitors and parasiticides. Watanabe, Kyoichi A.; Chu, Chung K.; Fox, Jack J. (Sloan-Kettering Institute for Cancer Research, USA). Eur. Pat. Appl. EP 219829 A2 870429, 9 pp. DESIGNATED STATES: R: DE, ES, FR, GB. (English). CODEN: EPXXDW. APPLICATION: EP 86-114412 861017. PRIORITY: US 85-789072 851018.

GI



AB The title compds. (I; $R_1, R_2 = H$, acyl, aroyl; $R_3, R_4 = H$, halo, OR₅, SR₅, NR₅R₆, decylimino; $R_5, R_6 = H$, alkyl, aralkyl, acyl) were prepd. as neoplasm inhibitors and parasiticides. I ($R_1 = R_2 = H, R_3 = SH, R_4 = NH_2$) was refluxed in H₂O with Raney Ni to give I ($R_1 = R_2 = R_3 = H, R_4 = NH_2$) (II). II had an ID₅₀ of 2.0 .mu.M against mouse L 1210 leukemia cells.

IC ICM C07H019-16

ICS A61K031-70

CC 33-9 (Carbohydrates)

Section cross-reference(s): 1, 63

IT 98983-40-5P 103884-97-5P 103884-98-6P 109303-87-9P

109303-88-0P 109303-89-1P 109303-90-4P 109303-91-5P

109303-92-6P 109303-93-7P 109303-94-8P 109303-95-9P

109303-96-0P 109303-97-1P 109303-98-2P 109303-99-3P

109304-00-9P 109304-01-0P 109304-02-1P 109304-03-2P

109304-04-3P 109304-05-4P 109304-06-5P 109304-07-6P

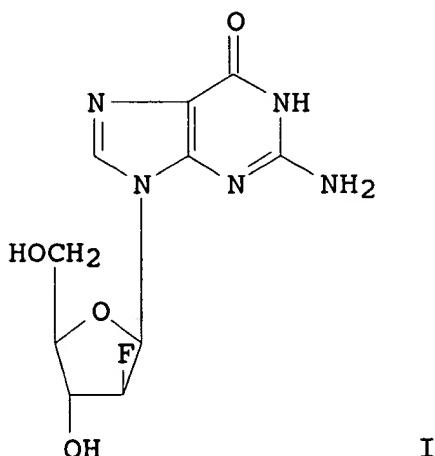
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 109304-16-7P

(prepn. of, as parasiticide and neoplasm inhibitor)

L31 ANSWER 19 OF 24 HCA COPYRIGHT 1995 ACS

105:172962 9-(2-Deoxy-2-fluoro-.beta.-D-arabinofuranosyl)guanine: a metabolically stable cytotoxic analogue of 2'-deoxyguanosine. Montgomery, John A.; Shortnacy, Anita T.; Carson, Dennis A.; Sechrist, John A., III (Kettering-Meyer Lab., Southern Res. Inst., Birmingham, AL, 35255-5305, USA). J. Med. Chem., 29(11), 2389-92 (English) 1986. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CASREACT 105:172962; CJACS.

GI



AB The synthesis of the title nucleoside (I) from 1,3-di-O-acetyl-5-O-benzoyl-2-deoxy-2-fluoro-D-arabinofuranose and 2,6-dichloropurine in six steps using an enzymic deamination as the last step is reported. I is stable to purine nucleoside phosphorylase cleavage and is cytotoxic in two cell lines, one a T-cell line. Incubation of L1210 cells with I results in an inhibition of DNA synthesis as judged by the reduced incorporation of labeled thymidine into DNA, while RNA and protein syntheses were unaffected.

CC 33-9 (Carbohydrates)

Section cross-reference(s): 1

IT 961-07-9DP, analog 103884-98-6P

(prepn. and cytotoxicity of)

L31 ANSWER 20 OF 24 HCA COPYRIGHT 1995 ACS

105:91327 Treatment of tumors in mammals. Grindey, Gerald Burr; Hertel, Larry Wayne (Lilly, Eli, and Co., USA). Eur. Pat. Appl. EP 184365 A2 860611, 60 pp. DESIGNATED STATES: R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 85-308547 851125. PRIORITY: US 84-677783 841204; US 85-786419 851010.

AB 2'-Deoxy-2',2'-difluoronucleosides are prepd. as cytostatic agents for neoplasm treatment. For example, 1-(4-amino-2-oxo-1H-pyrimidin-

1-yl)-2-deoxy-2,2-difluororibose (I) (20.0 mg/kg i.p. on days 1, 5, and 9 after tumor implantation) gave 92-100% inhibition of 6C3HED lymphosarcoma, CA755 adenocarcinoma, P1534J lymphocytic leukemia, and X5563 myeloma in mice. I was prep'd. by reaction of 3,5-bis(tert-butyldimethylsiloxy)-1-methanesulfonyloxy-2-deoxy-2,2-difluororibose with bis(trimethylsilyl)-N-acetylcytosine and deprotection. Tablets were prep'd. contg. I 250, microcryst. cellulose 400, SiO₂ 10, and stearic acid 5 mg.

IC ICM C07H019-06

ICS C07H019-16; A61K031-70

CC 1-6 (Pharmacology)

Section cross-reference(s): 33, 63

IT 103828-75-7P 103828-76-8P 103828-77-9P 103828-78-0P

103828-79-1P 103828-80-4P 103828-81-5P **103828-82-6P**

103828-83-7P 103828-86-0P 103828-87-1P 103882-84-4P

103882-85-5P 103882-86-6P **103882-87-7P**

103882-88-8P

(prepn. of, as neoplasm inhibitor)

L31 ANSWER 21 OF 24 HCA COPYRIGHT 1995 ACS

102:199767 A .fwdarw. Z transition in the synthetic hexanucleotide (dCdGf1)3. Fazakerley, G. V.; Uesugi, S.; Izumi, A.; Ikebara, M.; Guschlbauer, W. (Serv. Bochim., Cent. Etud. Nucl. Saclay, Gif-sur-Yvette, F-91191, Fr.). FEBS Lett., 182(2), 365-9 (English) 1985. CODEN: FEBLAL. ISSN: 0014-5793.

AB 500-MHz ¹H NMR and nuclear Overhauser enhancement measurements of (dCdGf1)3 (where dC = 2'-deoxycytosine and dGf1 = 2'-deoxy-2'-fluoroguanosine) showed that at very low ionic strength the hexanucleotide adopts an A-DNA conformation, whereas at high salt concns. a Z-form is found. At intermediate salt concns., the 2 species were in slow exchange on the ¹H NMR time scale. This transition was also obsd. by characteristic changes in the CD spectra.

CC 6-2 (General Biochemistry)

IT **96475-41-1**

(double-stranded, conformational A-Z transition of)

L31 ANSWER 22 OF 24 HCA COPYRIGHT 1995 ACS

101:73046 2'-Substituted 2'-deoxypurinenucleotides their conformation and properties. Ikebara, Morio (Fac. Pharm. Sci., Osaka Univ., Suita, 565, Japan). Heterocycles, 21(1), 75-90 (English) 1984. CODEN: HTCYAM. ISSN: 0385-5414.

AB In order to investigate the structure-function relationship of DNA and RNA, a no. of nucleotide analogs having various substituents in the 2'-position of purine nucleoside moieties were synthesized and their phys. and biol. properties investigated.

CC 33-10 (Carbohydrates)

Section cross-reference(s): 6, 22

IT 58-61-7, properties 958-09-8 2140-79-6 2627-62-5 10414-81-0

58699-61-9 65446-56-2 68775-04-2 77268-13-4 **78842-13-4**

80973-48-4 80973-50-8 80980-30-9

(conformation of)

L31 ANSWER 23 OF 24 HCA COPYRIGHT 1995 ACS

96:104673 Studies on nucleosides and nucleotides. LXXXIX. Purine cyclonucleosides. (43). Synthesis and properties of

2'-halogeno-2'-deoxyguanosines. Ikebara, Morio; Imura, Junko (Fac.

Pharm. Sci., Osaka Univ., Suita, 565, Japan). Chem. Pharm. Bull., 29(11), 3281-5 (English) 1981. CODEN: CPBTAL. ISSN: 0009-2363.

AB The reaction of N₂-isobutyryl-9-(2'-O-trifluoromethanesulfonyl-3',5'-di-O-tetrahydrofuranyl-.beta.-D-arabinofuranosyl)guanine with Bu₄NF or an appropriate metal halide in DMF afforded N₂-isobutyryl-3',5'-di-O-tetrahydrofuranyl-2'-halo-2'-deoxyguanosines. The deprotection of these products led to 2'-halo-2'-deoxyguanosines. The UV, ¹H and ¹³C NMR spectral properties and conformations of the products were recorded.

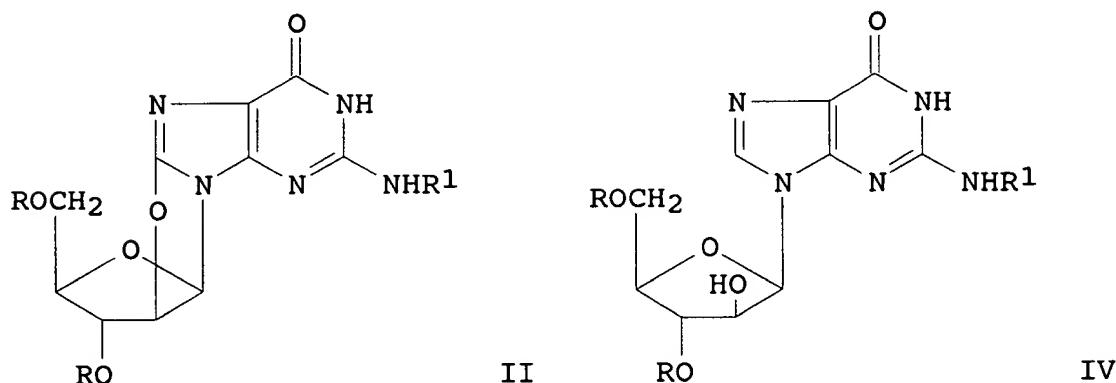
CC 33-9 (Carbohydrates)

IT 78842-13-4P 80973-48-4P 80973-50-8P 80980-30-9P
(prep. and spectra of)

L31 ANSWER 24 OF 24 HCA COPYRIGHT 1995 ACS

95:115911 Studies on nucleosides and nucleotides. LXXXVII. Purine cyclonucleosides. XLII. Synthesis of 2'-deoxy-2'-fluoroguanosine. Ikehara, Morio; Imura, Junko (Fac. Pharm. Sci., Osaka Univ., Osaka, 565, Japan). Chem. Pharm. Bull., 29(4), 1034-8 (English) 1981. CODEN: CPBTAL. ISSN: 0009-2363.

GI



AB 2'-Deoxy-2'-fluoroguanosine (I) was synthesized starting from cycloguanosine II ($R = R_1 = H$) (III). III was protected at 2-NH₂ with an isobutyryl group and at 3'- and 5'-OH with tetrahydrofuranyl groups. The protected compd. II ($R = \text{tetrahydrofuranyl}$; $R_1 = \text{Me}_2\text{CHCO}$) was derivatized to the arabino nucleoside IV (same R and R_1) and thence converted to I by treatment with CF₃SO₂Cl and Bu₄N⁺F⁻. I showed a 3'-endo favored conformation.

CC 33-7 (Carbohydrates)

Section cross-reference(s): 22

IT 78842-13-4P

(prep. and conformation of)

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